

## PATENT COOPERATION TREATY

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## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

## (PCT Article 36 and Rule 70)

Applicant's or agent's file reference PC32000A	<b>FOR FURTHER ACTION</b>		See Form PCT/PEA/416
International application No. PCT/B2004/003645	International filing date (day/month/year) 05.11.2004	Priority date (day/month/year) 18.11.2003	
International Patent Classification (IPC) or national classification and IPC C07D403/04, C07D498/06, C07D471/04, C07D413/14, A61K31/517, A61K31/519, A61K31/5383, A61P31/04			
Applicant WARNER-LAMBERT COMPANY LLC et al			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> <i>(sent to the applicant and to the International Bureau)</i> a total of sheets, as follows:</p> <ul style="list-style-type: none"> <li><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</li> <li><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</li> </ul> <p>b. <input type="checkbox"/> <i>(sent to the International Bureau only)</i> a total of (indicate type and number of electronic carrier(s)), containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>			
<p>4. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> <li><input checked="" type="checkbox"/> Box No. I Basis of the opinion</li> <li><input type="checkbox"/> Box No. II Priority</li> <li><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</li> <li><input type="checkbox"/> Box No. IV Lack of unity of invention</li> <li><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li><input type="checkbox"/> Box No. VI Certain documents cited</li> <li><input type="checkbox"/> Box No. VII Certain defects in the international application</li> <li><input type="checkbox"/> Box No. VIII Certain observations on the international application</li> </ul>			
Date of submission of the demand 18.04.2005	Date of completion of this report 06.10.2005		
Name and mailing address of the international preliminary examining authority:  European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	Authorized Officer Allard, M Telephone No. +31 70 340- 		

**INTERNATIONAL PRELIMINARY REPORT  
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**Box No. I Basis of the report**

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - This report is based on translations from the original language into the following language, which is the language of a translation furnished for the purposes of:
    - international search (under Rules 12.3 and 23.1(b))
    - publication of the international application (under Rule 12.4)
    - international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

**Description, Pages**

1-183 as originally filed

**Claims, Numbers**

1-15 as originally filed

a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3.  The amendments have resulted in the cancellation of:

the description, pages  
 the claims, Nos.  
 the drawings, sheets/figs  
 the sequence listing (*specify*):  
 any table(s) related to sequence listing (*specify*):

4.  This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

the description, pages  
 the claims, Nos.  
 the drawings, sheets/figs  
 the sequence listing (*specify*):  
 any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

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1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

the entire international application,  
 claims Nos. 15 (as to industrial applicability only)

because:

the said international application, or the said claims Nos. 15 relate to the following subject matter which does not require an international preliminary examination (specify):

**see separate sheet**

the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):  
 the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.  
 no international search report has been established for the said claims Nos.  
 the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

has not been furnished  
 does not comply with the standard

the computer readable form

has not been furnished  
 does not comply with the standard

the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.  
 See separate sheet for further details

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes: Claims	1-15
	No: Claims	-
Inventive step (IS)	Yes: Claims	1-15
	No: Claims	-
Industrial applicability (IA)	Yes: Claims	1-14
	No: Claims	-

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

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**Re Item III**

**Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

Claim 15 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(I) PCT).

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**Reference is made to the following document:**

D1: WO 02/102793 A (WARNER-LAMBERT COMPANY) 27 December 2002 (2002-12-27)

**Novelty (Article 33(2) PCT)**

None of the available prior art documents discloses quinazolidinedione derivatives substituted in position 7 by a (poly)cyclic amine residue attached through its ring-nitrogen, said cyclic amine residue being itself substituted by a  $\beta$ -amino-nitrile rest: the subject-matter of claims 1-15 is therefore novel.

**Inventive step (Article 33(3) PCT)**

D1, which is considered to represent the closest prior art, describes quinazolinedione derivatives useful as antibacterial agents, see claims.

In the light of the teachings of D1 the problem underlying the present application can be

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seen in the provision of further antibacterial agents.

To solve this problem, the present application proposes to substitute the (poly)cyclic amino residue of the compounds disclosed in D1 by a  $\beta$ -amino-nitrile containing substituent.

As such a substituent is not suggested in the available prior art and is moreover not considered as an obvious alternative for the substituent disclosed in D1, the subject-matter of claims 1-15 is considered to involve an inventive step.

**Industrial applicability (Article 33(4) PCT)**

The compounds and formulation of claims 1-14 can be used in the pharmaceutical industry.

For the assessment of the present claim 15 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States.